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COST IN U.S. DOLLARS

SINCE FILE

FULL ESTIMATED COST

ENTRY SESSION 0.21 0.21

TOTAL

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STRUCTURE FILE UPDATES: 26 JUL 2007 HIGHEST RN 943513-14-2 DICTIONARY FILE UPDATES: 26 JUL 2007 HIGHEST RN 943513-14-2

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Uploading C:\Program Files\Stnexp\Queries\10 series\10589496\10589496a.str

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chain nodes :
11 12 13 14 18 19 22
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
4-11 5-18 6-19 8-22 11-12 12-13 12-14
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9
exact/norm bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 4-11 5-6 5-18 6-19 7-8 8-9 8-22 11-12
12-13 12-14
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G1:C,N

G2:C,O,S,N,Ak,Cy

G3:C,Cy,Ak

G4:CN, X, C, S, N, Ak, Cb, O

G5: CN, NH2, NO2, Ak, C, H, N, X, Cb

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:CLASS 12:CLASS 13:CLASS 14:CLASS 18:CLASS 19:CLASS 22:CLASS

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LI HAS NO ANSWERS

STR

$$G2$$
 N
 $G3$
 $G4$

G1 C,N

G2 C,O,S,N,Ak,Cy

G3 C, Cy, Ak

G4 CN, X, C, S, N, Ak, Cb, O

G5 CN,NH2,NO2,Ak,C,H,N,X,Cb

Structure attributes must be viewed using STN Express query preparation.

SAMPLE SEARCH INITIATED 17:05:14 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED -914 TO ITERATE

100.0% PROCESSED

914 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

> BATCH **COMPLETE**

PROJECTED ITERATIONS:

16467 TO

PROJECTED ANSWERS:

20093 2 TO

L2

2 SEA SSS SAM L1

=> d scan

2 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN s-Triazolo[1,5-a]pyrimidine, 7-acetamido-5-ethyl-6-methyl- (7CI) C10 H13 N5 O

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 · 2 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
Propanamide, N-(5,6-dimethyl[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-2-methyl3-(tetradecylthio)- (9CI)
MF C25 H43 N5 0 S

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> s l1 sss full FULL SEARCH INITIATED 17:06:04 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 18655 TO ITERATE

100.0% PROCESSED 18655 ITERATIONS

12 ANSWERS

SEARCH TIME: 00.00.01

L3 12 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE

TOTAL

ENTRY

SESSION

173.45

173.66

FILE 'CAPLUS' ENTERED AT 17:06:12 ON 27 JUL 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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http://www.cas.org/infopolicy.html

=> s 13

L4 10 L3

=> d l4 1-10 ibib abs hitstr

L4 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:
DOCUMENT NUMBER:
113:266948
1143:266948
Preparation of azolopyrimidines as agrochemical fungicides.
Schwoegler, Anjar Gewehr, Markus/ Mueller, Bernd/
Grote, Thomas/ Grammenos, Wassilios/ Tormo i Blaco,
Jordir Rheinheimer, Joachim Blettner, Carsten:
Schaefer, Peter/ Schieweck, Frank Wagner, Oliver/
Stierl, Reinhard/ Schoefl, Ulrich/ Strathmann,
Siegfried/ Scherer, Haria

PATENT ASSIGNEE(S):
BASF Aktiengsellschaft, Germany
PCT Int. Appl., 96 pp.
CODEN: PIXXD2

DOCUMENT TYPE:

DOCUMENT TYPE: Patent

LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE 20050901 20051124 WO 2005080396

A2 20050901

WO 2005080396

A3 20051124

W1 AE, AG, AL, MA, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CM, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KF, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM, RW; BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, 15, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CT, CM, GA, GN, GQ, GW, HL, MR, NE, SN, TD, TG

EP 1720879

A2 20061115

EP 2005-715521

20050224

Ri AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, 15, IT, LI, LI, LI, LI, LU, MC, NL, PL, PT, PT, RO, SE, SI, SK, TR

PRIORITY APPIN. INFO:

MARPAT 143:266948 WO 2005080396 WO 2005080396 A2 A3 WO 2005-EP1965 20050224 OTHER SOURCE(S):

Title compds. [I, A = N, CR6; X, Y = bond, O, S, NR7; R1, R2 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, Ph, phenylalkyl, naphthyl, naphthylalkyl, (aromatic) heterocyclyl, heterocyclylalkyl, etc.; YR1, XR2 = H, cyano, NO2, halo, atoms to form (substituted) (heterocyclic) 5-7 membered rings, etc.; R3 = (substituted) alkyl, alkenyl, bicycloalkyl, cycloalkyl, cycloalkyl,

ANSWER 2 OF 10 CAPLUS COPYRIGHT 2007 ACS ON STN SSION NUMBER: 2002:391719 CAPLUS MENT NUMBER: 136:401776

ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:

136:401776
Preparation of preventive or therapeutic medicines for diabetes containing fused-heterocycle compounds such as pyrazolopyrimidines
Kato, Puminori Kimura, Hirohiko: Omatsu, Masato: Yamamoto, Kazuhiro: Miyamoto, Ryuji Ishihara Sangyo Kaisha, Ltd., Japan PCT Int. Appl., 102 pp.
CODEN: PIXXD2
Patent
Japana--

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

Japanese LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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			BF,	ВJ,	CF,	CG.	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
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		7067																
PRIORI	T	APP	LN.	INFO	. :						JP Z	000-	3517	64		A 2	0001	117
											JO 2	001-	JP10	061		7 2	0011	116
OTHER	sc	URCE	(S):			CAS	REAC	T 13	6:40									

The title compds. I [G is CN, NO2, etc., Rl is halogeno, etc., R2 is halogeno, optionally substituted amino, etc., and R8 and R10 are each independently hydrogen, halogeno, or alkyl are prepared Processes for preparing 1 are disclosed. Compds. of this invention at 50 mg/kg orally qave

ANSWER 1 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) heterocyclylalkyl, etc., R4 = halo, cyano, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, etc., R5 = H, cyano, O2, NH2, CH2NH2, halo, haloalkyl, alkyl, alkenyl, etc.], were prepd. Thus, a - 9' mixt. of POCI3 and DMF was treated with 7-amino-5-chloro-6-(2,4,6-chrifluorophenyl)triascolo(1,5-a)pyrimidine hydrochloride in DMF and Et3N to give 66% I (YR1 = NMe2, XR2, R5 = H, R3 = 2,4,6-trifluorophenyl, R4 = C1). The latter at 250 ppm reduced incidence of Alternaria solani on tomatoes to 5:1%, vs. 100% for untreated controls. 863604-97-379 863604-95-39 8

(uses)
(preparation of azolopyrimidines as agrochem. fungicides)
863604-57-3 CAPLUS
Acetamide, N-[S-chloro-6-(2,4,6-trichlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

863604-58-4 CAPLUS Propanamide, N-[5-chloro-6-(2,4,6-trichlorophenyl)[1,2,4]triazolo[1,5-a]pyrindidn-7-yl]- (9CI) (CA INDEX NAME)

ANSWER 2 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) statistically significant decreases of blood sugar in diabetic mice. 42654-71-3P

IT 429694-71-39
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of preventive or therapeutic medicines for diabetes containing
fused-heterocycle compds. or their salts)
RN 429694-71-3 CAPLUS
CN Acetamide, N-(6-cyano-5-(methylthio)pyrazolo[1,5-a]pyrimidin-7-y1]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 1999:650390 CAPLUS DOCUMENT NUMBER: 131:271882 Preparation of pyrazolo[1,5-a 131:271882
Preparation of pyrazolo[1,5-a]pyrimidines as nitrogen monoxide synthase inhibitors
Koji, Yasuor Okamura, Takashir Hashimoto, Kinji; Kondo, Mitsuyoshi, Shibutani, Naotaka
Ohtsuka Pharmaceutical Co., Ltd., Japan
Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: Patent

ANGUAGE: Japanese

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

DATE PATENT NO. KIND APPLICATION NO. DATE JP 11279178
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
GI A 19991012 JP 1999-18861 JP 1998-17068 19990127 MARPAT 131:271882

Title compds. [1; R 1 = CH3(CH2)3, CF3CH2CH2, FCH2CH2, (4-FC6H4)2C:CHCH2, CF3CH2OCH2, OPr-n, OEt, C6H5(CH2)3, C6H5CH2; R2 = H, 2-pyraziny); R3 = 4-MesC6H4, 3, 4, 5-(Me0)3C6H2, 2, 4-(Cl)2C6H3, 4-PhSO2C6H4, 2-MeSO2C6H4, 2-MeSOC6H4, 3-MeSOC6H4, 3-MeSOC6H2, 3-Me

L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 1998:246630 CAPLUS DOCUMENT NUMBER: 128:248613 Adenosine reinforcement agent NVENTOR(S): Moritoki, Hideki; Iwamoto, Tal

128:248613
Adenosine reinforcement agents
Moritoki, Hidekir Ivamoto, Takeshir Yasuda, Tsuneo
Ootsuka Pharmaceutical Co., Ltd., Japan
Jpn. Kokai Tokkyo Koho, 22 pp.
CODEN: JKXXAF
Patent

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: Japanese

LANGUAGE: FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

PATENT NO. DATE APPLICATION NO. DATE JP 1997-208772 JP 1996-207171 JP 10101672
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
GI Α 19970804 19980421 MARPAT 128:248613

(NH) nQAR2

The title compds. [1; R1 = H, lower alkoxy or alkylthio, oxo, etc.; R2 = naphthyl, cycloalkyl, (un)substituted phenoxy, etc.; R3 = H, Ph, lower alkyl, R4 = H, lower alkyl, R5 = H, lower alkyl, R6 = H, lower alkyl, R6 = H, lower alkyl, (un)substituted benzoyl, etc.; Q = CO, SO2; A = single bond, lower alkylene or alkeylene; n = O, 1] are presented as adenosine reinforcement agents. I, possessing adenosine reinforcement activity, are useful for prevention and treatment of heart attack, myocardial and brain infarction. Ten compds. of I were tested and showed excellent adenosine reinforcement activity. Formulation containing I were also prepared 174853-41-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, USES (Uses) (adenosine reinforcement agents)

(adenosine reinforcement agents)
174859-41-7 CAPLUS
Benzamide, N-(5-butyl-6-methylpyrazolo[1,5-a]pyrimidin-7-yl)-3,4,5trimethoxy- [9CI] (CA INDEX NAME)

ANSWER 3 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

245096-78-0 CAPLUS
Benzamids, N-[6-(2,3-dichlorophenyl)-5-(fluoromethyl)pyrazolo[1,5-a)pyrimidin-7-yl]-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)

ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 1998:246629 CAPLUS DOCUMENT NUMBER: 128:248612

ACCESSION NUMBER:
DOCUMENT NUMBER:
TITLE:
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE: 128:248612
Nitrogen monooxide synthase inhibitors
Nitrogen monooxide synthase inhibitors
Octsuka Pharmaceutical Co., Ltd., Japan
Jpn. Kokai Tokkyo Koho, 25 pp.
CODEN: JXXXAF
Patent
Japanese
1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. PATENT NO. KIND DATE DATE JP 10101671
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
GI 19970801 JP 1997-207867 JP 1996-209465 19980421 MARPAT 128:248612

(NH) nQAR2

(Uses)
(pyrozolopyrimidine derivs. as nitrogen monooxide synthase inhibitors)
174859-41-7 CAPLUS
Benzamide, N-(S-butyl-6-methylpyrazolo[1,5-a]pyrimidin-7-yl)-3,4,5trimethoxy- (9C1) (CA INDEX NAME)

L4 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:465087 CAPLUS
DOCUMENT NUMBER: 127:81462
ITILE: 27:81462
INVENTOR(S): Sato, Masakazu, Hannaka, Akira, Takahashi, Keiko, Tomizawa, Kazuyuki
Taisho Pharmacoutical Co., Ltd., Japan
Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JOXXAF
PAHLY ACC. NUM. COUNT: 1

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

DATE 19970630 20051116 PATENT NO. KIND APPLICATION NO. DATE JP 09169763 JP 3716472 PRIORITY APPLN, INFO,: OTHER SOURCE(S): A B2 JP 1995-333247 19951221 JP 1995-333247 19951221 MARPAT 127:81462

AB The title compds. (I; X = ASRI; A = Cl-4 alkylene; R1 = Cl-20 alkyl; R2 = H, Cl-4 alkyl; R3 = Me, morpholino) are prepared I, possessing Acyl-CoA Cholesterolacyltransferase (ACAT) inhibitory activity, are useful as lipid lowering agents and arteriosclerosis renedies. Thus, Me (CH2)13SH was treated with NaH and then reacted with I (X = CMe2Br, R2 = Me, R3 = morpholino) (preparation given) to give the title compound I (X = CMe2S(CH2)13Me, R2 = Me, R3 = morpholino), which showed IC50 of 6.05 X 10-6 M against ACAT when tested with rabbits.

IT 191655-89-7 p 191655-90-0P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study), unclassified), SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USSS (Uses) (preparation of triazolopyrimidine derivs. as ACAT inhibitors)

RN 191655-89-7 CAPIUS

CN Acetamide, N-(5,6-dimethyl[1,2,4|triazolo[1,5-a]pyrimidin-7-yl]-2-(tetradecylthio)- (9Cl) (CA INDEX NAME)

ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

ANSWER 6 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

191655-90-0 CAPLUS
Propananide, N-(5,6-dimethyl[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-2-methyl-3-(tetradecylthio)- (9C1) (CA INDEX NAME)

191655-98-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of triazolopyrimidine derivs, as ACAT inhibitors)
191655-98-8 CAPLUS
Propanamide, 2-bromo-N-{5,6-dimethyl{1,2,4}triazolo{1,5-a}pyrimidin-7-yl}-2-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 1996:196727 CAPLUS DOCUMENT NUMBER: 124:261026 TITLE: Preparation and formulation o

reparation and formulation of pyrazolopyrimidine derivatives as analyssics Shoji, Yasuor Inoue, Makotor Okamura, Takashir Hashimoto, Kinjir Ohara, Masayukir Yasuda, Tsuneo Otsuka Pharmaceutical Factory, Inc., Japan PCT Int. Appl., 89 pp. CODEN: PIXXO2 INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: Patent

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

						KIND		DATI	E	AF			N NO.			ATE		
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	AU	6803	70		•	B2		199	70724									
	EP	7148	98			A1		1996	60605	.EF	199	5-92	0260		1	9950	605	
	EP					B1												
										GB, C								SE
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	CN	1046	730			В		1999	91124									
	JP	0831	1068			A		1990	51126	JF	199	5-13	7878		. 1	9950	605	
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						A B2					199	9-13	7890		1	9950	605	
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	EC	2164	153			T T3		200	20216	ES	100	5-02	0260			9950	605	
	PT	7148	98			7		200	20429	PI	199	5-92	0260		i	9950	605	
		5707				À		1998	80113	115	199	5-60	2824		i	9960	221	
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The title compds. I [R1 represents hydrogen, lower alkyl, cycloalkyl, thienyl, furyl, lower alkenyl or phenyl; R2 represents naphthyl, cycloalkyl, furyl, thienyl, pyridyl, phenoxy or phenyl; R3 represents

L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 1966:27540 CAPLUS DOCUMENT NUMBER: 64:27540 CAPLUS CORIGINAL REPERENCE NO.: 64:5086g-h,5087a-h,5088a-d

ORIGINAL REFERENCE NO.:

Syntheses of pyrazole derivatives. XI. Acetylation products of 7-aminopyrazolo[1,5-a]pyrimidines.

produces of *-aminopyrazolo[1,5-a]pyrinidines. Supplement Takamizawa, Akira; Hamashima, Yoshio Shionogi Co., Ltd., Osaka Chemical & Pharmaceutical Bulletin (1965), 13(10), 1207-20 AUTHOR (S): CORPORATE SOURCE: SOURCE:

1207-20
CODEN: CPBTAL, ISSN: 0009-2363
UMENT TYPE:
JOURNAI

GIAGR:
English
of. CA 63, 5644b. The steric effect of substituents at C-6 of
pyrezolopyrimidine ring on the NH2 group at C-7 was investigated. A mixture
of 2 g, 7-amino-2,5-dimethylpyrazolo[1,5-a]pyrimidine, 10 ml. Ac20, and 20
ml. pyridine was heated at 105 for 5.5 hrs. to give 1.8 g.
7-acetamido-2,5-dimethylpyrazolo[1,5-a]pyrimidine, m. 83-4*. The
same reaction could be carried out with AcCl in pyridine. Similarly 500
mg, 2-methyl-5-phenyl-7-aminopyrazolo[1,5-a]pyrimidine, awa 450 mg.
2-methyl-5-phenyl-7-amino-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 196-8*;
and 5-phenyl-7-amino-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 196-8*;
yield of 5-phenyl-7-acetamido-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m.
165-6*. On the other hand acetylation of 500 mg.
2-phenyl-7-amino-5,6-dimethylpyrazolo[1,5-a]pyrimidine with 5 ml. Ac20 and
15 ml. pyridine at 100 3 hrs. gave 84.78 2-phenyl-7-diacetylamino5,6-dimethylpyrazolo[1,5-a]pyrimidine, m. 166-9*. Mild acetylation of
500 mg, 6-phenyl-7-amino-3,3-terimethylpyrazolo[1,5-a]pyrimidine at
100* for 12 hrs. gave 490 mg, 6-phenyl-7-acetamido-2,3,5trimethylpyrazolo-[1,5-a]pyrimidine, m. 105*.
These results indicated that 7-amino group gave a diacetate when an alkyl
or aryl group was present at C-6. Compds. with electroneg. COOEt and CN
groups at C-6 were examined Thus, acetylation of 1 g. ethyl
2-methyl-7-aminopyrazolo[1,5-a]pyrimidine-6-carboxylate (I) on acetylation
with 10 ml. Ac20 and 20 ml. pyridine in a sealed tube at 110* for
15 hrs. gave 338 mg. ethyl 2-methyl-7-acetylamino-6-carboxylate, m.
100-3*. The diacetate on Al203 in CHCl3 gave II, whereas the
reacetylation of II gave the diacetate. Similarly 1.5 g. ethyl
7-amino-2,3-dimethylpyrazolo[1,5-a]pyrimidine-6-carboxylate, m.
10-3*. The diacetate on Al203 in CHCl3 gave II, whereas the
reacetylation of II gave the diacetate. Similarly 1.5 g. ethyl
7-anino-2,3-dimethylpyrazolo[1,5-a]pyrimidine-6-carboxylate, m.
10-3*. The diacetate on Al203 in CHCl3 gave II, wher CODEN: CPBTAL; ISSN: 0009-2363 DOCUMENT TYPE:

1.42 g. hydriodide, m. 205°, which on neutralization gave ethyl

ANSWER 7 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) hydrogen, Ph or lower alkyl; R4 represents hydrogen, lower alkyl; lower alkoxycarbonyl, phenyl-substituted lower alkyl. Ph or halogen; R5 represents hydrogen or lower alkyl; R6 represents hydrogen, lower alkyl, phenyl-substituted lower alkyl or benzoyl; Q represents carbonyl or sulfonyl; A represents a single bond, lower alkylene or lower alkeylene; and n represents 0 or 1] are prepd. The title compd. II (prepn. given) at 3 mg/Kg orally showed potent analgesic activity in rats. 174:659-41-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of pyrazolopyrimidine derivs. as analgesics) 174:659-41-7 CAPLUS
Benzamice, N-(5-butyl-6-methylpyrazolo[1,5-a]pyrimidin-7-yl)-3,4,5-trimethoxy- (SCI) (CA INDEX NAME)

ANSWER 8 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
7-imino-2, 3, 4-trimethyl-4, 7-dihydropyrazolo[1,5-a]pyrimidine-6-carboxylate
(VII), m. 228°. Its acetylation gave VI. Hydrolysis of VI and VII
vith 2014 HCl under reflux for 24 hrs. gave the known 2,3,4trimethylpyrazolo[1,5-a]pyrimidine-7(4H)-one. Just as methylation,
ethylation of 2.2 g. I gave 564 mg. ethyl 2-methyl-4-ethyl-1-mino-4,7dihydropyrazolo[1,5-a]pyrimidine-6-carboxylate, m. 155-6'; and 2 g.
III gave ethyl 4-ethyl-7-imino-2,3-dimethylpyrezolo-[1,5-a]pyrimidine-6-carboxylate, m. 181-2'. On the other hand
acetylation of 500 mg. 7-amino-2,3-dimethylpyrezolo-[1,5-a]pyrimidine-6-carboxylate, m. 181-2'. On the other hand
acetylation of 500 mg. 7-amino-2,3-dimethylpyrezolo-[1,5-a]pyrimidine-6-carbonitrile with 10 ml. pyridine and 5 ml. Ac20 at room temp. for 30 hrs.
gave only the monoscatae, 7-acetamido-2,3-dimethylpyrezolo[1,5-a]pyrimidine-6-carbonitrile m. 204-5', which was also obtained by
the acetylation at 110' for 8 hrs. An explanation was suggested to
explain these results. Bensoylation was next tried. Treatment of 1 g.
7-asino-2,5-dimethylpyrazolo[1,5-a]pyrimidine with 10 ml. pyridine and
1.86 g. BzCl at 110' for 1 hr. gave 12 g. 7-benzamido-2,5-c
dimethylpyrazolo[1,5-a]pyrimidine, m. 136-2''. Similarly, other 7-acylamino compds. were prepd. Thus, a suspension of
5.7 g. 7-amino-2,3-dimethylpyrazolo[1,5-a]pyrimidine with 10 ml., a suspension of
5.7 g. 7-amino-2,3-dimethylpyrazolo[1,5-a]pyrimidine and 5 g. X2CO3 in 40
ml. dimethylformamide was treated with CICH2COC1 and the mixt. heated on a
steam bath for 6 hrs. to give 1.94 g. 7-(2-chloroacetamido)-2,3-dimethylpyrazolo[1,5-a]pyrimidine (VIII), m. 175'. Replacement of
CICH2COC1 by (CICH2CO) 20 and carrying out the reaction in CHC13 gave the
same result. On the other hand, the reaction of 7-amino-2,3,6trimethylpyrazolo[1,5-a]pyrimidine (VIII), m. 175'. Replacement of
CICH2COC1 by (CICH2CO) 20 and carrying out the reaction in CHC13 for 5 hrs.
gave 960 mg. 7-(2-chloroacetamido)-2,3,6-tri

ANSWER 8 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) hydrogenation under the same conditions gave 76.2% 2,3-dimethyl-4,5,6,7-tetrahydropyrazolo[1,5-a]pyrimidine, m. 141-2°. Similarly 500 mg. XII gave 350 mg. 2,3,6-trimethyl-yrazolo[1,5-a]pyrimidine, m. 110° and 72.5% yield of 2,3,6-trimethyl-yrazolo[1,5-a]pyrimidine, m. 110° and 72.5% yield of 2,3,6-trimethyl-yrazolo[1,5-a]pyrimidine, m. 169-70° and 213 mg. XIII gave 156 mg. 2,3,5-trimethyl-yrazolo[1,5-a]pyrimidine, m. 81°, hydrochloride, m. 179°. A mixt. of 300 mg. XI and excess of MeNH2 in CHCl3 was heated in a sealed tube at 150° for 8 hrs. to give 7-methylamino-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 187°, 7-methylamino-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 187°, 7-methylamino-2,3,5-trimethylpyrazolo[1,5-a]pyrimidine, m. 17°, 7-dimethylamino-2,3,5-trimethylpyrazolo[1,5-a]pyrimidine, m. 17°, 7-dimethylamino-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 18°, hydrochloride, m. 206°, 7-dimethylamino-2,3,6-trimethylpyrazolo[1,5-a]pyrimidine, m. 84°, hydrochloride, m. 206°, hydrochloride, m. 206°, hydrochloride, m. 206°, r-dimethylamino-2,3-brimethylpyrazolo[1,5-a]pyrimidine, m. 132°, hydrochloride, m. 206°, r-dimethylamino-2,3-brimethylpyrazolo[1,5-a]pyrimidine, m. 132°, hydrochloride, m. 206°, r-dimethyloarbamoylmethylamino)-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 185-6°, hydrochloride, m. 206°, r-dimethylpyrazolo[1,5-a]pyrimidine, m. 185-6°, hydrochloride, m. 240°, and r-dimethylpyrazolo[1,5-a]pyrimidine, m. 180°, hydrochloride, m. 240°, and r-dim

described.
4385-22-2P, Pyrazolo[1,5-a]pyrimidine, 7-acetamido-2,3,5-trimethyl-

4395-22-2P, Pyrazolo[1,5-a]pyrimidine, 7-acetamido-2,3,5-trimethyl-6-phenyl-RL: PREF (Preparation) (preparation of) 4385-22-2 CAPLUS Acetamide, N-(2,3,5-trimethyl-6-phenylpyrazolo[1,5-a]pyrimidin-7-yl)-(8CI) (CA INDEX NAME)

60:3162
60:523e-g
Condensed heterocycles. IV. Condensation of 3-amino-1,2,4-triazoles with diaceto- and dipropionitriles
Levin, Ya. A.; Kukhtin, V. A.
Cine-Photo Res. Inst., Kazam
Zhurnal Obshchei Khimii (1963), 33(8), 2678-82
CODEN: ZOKIMA; ISSN: 0044-460X
Journal
Unavailabl-

AUTHOR(S): CORPORATE SOURCE: SOURCE:

DOCUMENT TYPE: LANGUAGE:

JUNEAU TYPE:

JOURNAI

JUNEAU

JOURNAI

JUNEAU

JOURNAI

JUNEAU

For diagram(s), see printed CA Issue.

Heating 3-amino-5-substituted 1,2,4-triszoles with substituted p-aminoacrylonitriles 30-40 min at 155-200° gave (Ia) (R, R', R' ' * yield, and m.p. shown, resp.): H He, H (I), 84, 246-7' (picrate decomposed 212-14'), Pr, Me, H, 61, 180-1'; CGHI3,

He, H, S6, 128-30', H, Et, He (II), 72, 262-3', Pr, Et, Me, 128-30', H, Et, He (II), 72, 262-3', Pr, Et, Me, 230'; similarly was prepared Ac derivative of II, m. 1402', purified on Al203 in CGH6. I and tosyl chloride gave 758 ptoluenesulfonamido analog, decomposed 283-5' (A 304 mµ).

Treated with Br vapors at 60' in H20, I gave 888 4-imino-5bromo-6-methyt-1,2,4-triszolo[2,3-a]pyrimidine, decomposed 2457' (A 261 and 298 mµ). I and aqueous I-KI in the presence of K2C03 at 70-80' gave 4-amino-6-methyl-5-iodo-1,2,4-triszolo[2,3-a]pyrimidine, decomposed 233-5' (A 260 and 300 mµ).

4-Chloro-5-hexyl-6-methyl-1,2,4-triszolo[2,3-a]pyrimidine, m. 412', formed in 821 yield from the 4-oxo snalog by refluxing in POC13 3 hrs. Treated with NH3 in EtOH at 0', then heated 3 hrs. in an ampul at 100', this gave 834 4-amino-5-hexyl-6methyl-1,2,4-triszolo[2,3-a]pyrimidine, m. 230-1', which could not be prepared by the above condensation of aminotriszole with dipropionitrile even at 230'. I and concentrated HCl in 5 hrs. at 140' in a sealed tube gave 3-amino-1,2,4-triszolo[1,5-a]pyrimidine, 7-acetamido-5-ethyl-6-methyl
RL: PREP (Preparation)

90973-30-1r, 5-11120-05(), methylRL: PREP (Preparation)
(preparation of)
90973-30-1 CAPLUS
9-Triazolo[1,5-a]pyrimidine, 7-acetamido-5-ethyl-6-methyl- (7CI) (CA INDEX NAME)

L4 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1966:11534 CAPLUS
ODCUMENT NUMBER: 64:11534
ORIGINAL REFERENCE NO.: 64:2102f-g
TITLE: 7-Aminopyrazolo[1,5-a]pyrimidine derivatives
Takamizawa, Akira; Hayashi, Sadao; Hamashima, Yoshio
SNURCE: Shionogi & Co., Ltd.
3 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Patent
Unavailable
FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. DATE

PRIORITY APPIN. INFO.:

JP 40018757

BRI 19650823

JP 19630907

PRIORITY APPIN. INFO.:

JP 19630907

GI For diagram(s), see printed CA Issue.

AB Manufacture of I, useful as analyssics and antiinflammatory agents, was described. Thus, a solution of 500 mg. 2,3-dimethyl-7-aminopyrazolo[1,5-a]pyrimidine in 10 ml. CSHSN is heated on a steam bath 3 hrs. with 5 ml. Ac20, the whole concentrated in vacuo, and the residue dissolved in H20,

alkaline, and extracted with AcOEt to give 480 mg. I(R1 = R2 = Me, R3 = R4 = R5

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http://www.cas.org/support/stngen/stndoc/properties.html

Uploading C:\Program Files\Stnexp\Queries\10 series\10589496\10589496b.str

```
chain nodes :
11  12  15  16  19
ring nodes :
1  2  3  4  5  6  7  8  9
chain bonds :
4-11  5-15  6-16  8-19  11-12
ring bonds :
1-2  1-6  2-3  2-7  3-4  3-9  4-5  5-6  7-8  8-9
exact/norm bonds :
1-2  1-6  2-3  2-7  3-4  3-9  4-5  4-11  5-6  5-15  6-16  7-8  8-9  8-19  11-12
```

G1:C,N

G2:C,O,S,N,Ak,Cy

G3:C,Cy,Ak.

G4:CN, X, C, S, N, Ak, Cb, O

G5:CN, NH2, NO2, Ak, C, H, N, X, Cb

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:CLASS 12:CLASS 15:CLASS 16:CLASS 19:CLASS

L5 STRUCTURE UPLOADED

=> d 15

L5 HAS NO ANSWERS

L5 STR

G1 C,N

G2 C, O, S, N, Ak, Cy

G3 C, Cy, Ak

G4 CN, X, C, S, N, Ak, Cb, O

G5 CN, NH2, NO2, Ak, C, H, N, X, Cb

Structure attributes must be viewed using STN Express query preparation.

3 ANSWERS

=> s 15

SAMPLE SEARCH INITIATED 17:19:51 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 914 TO ITERATE

100.0% PROCESSED 914 ITERATIONS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 16467 TO 20093

PROJECTED ANSWERS: 3 TO 163

L6 3 SEA SSS SAM L5

=> d scan

L6 J ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Pyrazolo[1,5-a|pyrimidine-6-carbonitrile, 5-{methylthio}-7[(phenylmethylene)amino]- (9CI)
MF C15 H11 N5 S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s 15 sss full FULL SEARCH INITIATED 17:20:06 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 18655 TO ITERATE

100.0% PROCESSED 18655 ITERATIONS

SEARCH TIME: 00.00.01

L7 . 20 SEA SSS FUL L5

=> file caplus

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20 ANSWERS

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http://www.cas.org/infopolicy.html

=> s 17

L8 12 L7

=> d 18 1-12 ibib abs hitstr

L8 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:962261 CAPLUS
DOCUMENT NUMBER: 149:266948
TITLE: Preparation of azolopyrimidines as agrochemical

INVENTOR (S):

Preparation of azolopyrimidines as agrochemical fungicides.
Schwoegler, Anjar Gewehr, Markus, Mueller, Bernd, Grote, Thomas, Grammenos, Wassilios; Tormo i Blasco, Jordi; Rheinheimer, Joachim, Blettner, Carsten; Schaefer, Peter: Schieweck, Frank; Wagner, Oliver; Stierl, Reinhard; Schoefl, Ulrich; Strathmann, Siegfried; Scherer, Maria
BASF Aktiengesellschaft, Germany
PCT Int. Appl., 96 pp.
CODEN: PIXXD2

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: Patent

LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

			DATE	APPLICATION NO.	DATE
WO 2005	080396	A2	20050901	WO 2005-EP1965	20050224
	080396				
W:				BA, BB, BG, BR, BW, BY	B7 CA CH
₩.					
				DM, DZ, EC, EE, EG, ES	
				IN, IS, JP, KE, KG, KP	
	LK, LR, LS	, LT, LU	, LV, MA,	MD, MG, MK, MN, MW, MX	, M2, NA, NI,
	NO. NZ. OF	, PG, PH	, PL, PT,	RO, RU, SC, SD, SE, SG	, SK, SL, SY,
	TJ. TM. TN	TR. TT	. TZ. UA.	UG, US, UZ, VC, VN, YU	. ZA. ZM. ZV.
ישמ				NA, SD, SL, SZ, TZ, UG	
				TM, AT, BE, BG, CH, CY	
				IE, IS, IT, LT, LU, MC	
	RO, SE, SI	, SK, TR	, BF, BJ,	CF, CG, CI, CM, GA, GN	, GQ, GW, ML,
	MR, NE, SI	, TD, TG			•
EP 1720	879	A2	20061115	EP 2005-715521	20050224
R:	AT, BE, BO	, CH, CY	, CZ, DE,	DK, EE, ES, FI, FR, GE	, GR, HU, IE,
	IS. IT. LI	, LT, LU	, MC, NL,	PL, PT, RO, SE, SI, SK	, TR
PRIORITY API	INFO.:			DE 2004-10200400917	8A 20040225
				WO 2005-EP1965	
OTHER SOURCE	3(5):	MARPAT	143:2669		

Title compds. [1, A = N, CR6; X, Y = bond, O, S, NR7; R1, R2 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, Ph, phenylalkyl, naphthyl, naphthylalkyl, (aromatic) heterocyclyl, heterocyclylalkyl, etc.; YR1, XR2 = H, cymanto, NOZ, halo, atoms to form (substituted) (heterocyclic) 5-7 membered rings, etc.; R3 = (substituted) AB

ANSWER 1 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

863604-57-3 CAPLUS Acetamide, N-[5-chloro-6-(2,4,6-trichlorophenyl) [1,2,4]triazolo[1,5-a]pyrimidin-7-yl]- (9C1) (CA INDEX NAME)

863604-58-4 CAPLUS
Propanamide, N-[5-chloro-6-(2,4,6-trichlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

ANSWER 1 OF 12 CAPLUS COFYRIGHT 2007 ACS on STN (Continued) alkyl, alkenyl, alkadienyl, alkynyl, cycloalkyl, cycloalkenyl, bicycloalkyl, Ph, phenylalkyl, naphthyl, (arom.) heterocyclyl, heterocyclylalkyl, etc., R4 = halo, cyano, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, etc., R5 = H, cyano, NO2, NH2, CH2NH2, halo, haloalkyl, alkyl, alkenyl, etc.], were prepd. Thus, a -8° mixt. of POCI3 and DMF was treated with 7-amino-5-chloro-6-[2,4,6-trifluorophenyl) triazolo[1,5-a]pyrimdine hydrochloride in DMF and Et3N to give 66% I (YR1 = NMe2; XR2, R5 = H, R3 = 2,4,6-trifluorophenyl, R4 = Cl). The latter at 250 pmp reduced incidence of Alternaria solani on tomatoes to \$14, vs. 100% for untreated controls. \$63604-54-0P 863604-55-1P 863604-55-P 863604-55-P 863604-55-P 863604-55-P 863604-58-4P RL: AGR (Agricultural use), BSU (Biological study, unclassified), SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Dses)

(Uses)
(preparation of azolopyrimidines as agrochem. fungicides)
863604-54-0 CAPLUS
Methanimidamide, N'-[5-chloro-6-{2,4,6-trichlorophenyl){1,2,4}triazolo[1,5-a]pyrimidin-7-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

863604-55-1 CAPLUS
Piperidine, 1-[[[5-chloro-6-{2,4,6-trichlorophenyl}}{1,2,4}triazolo{1,5-a}pyrimidin-7-yl]imino]methyl]- (9CI) (CA INDEX NAME)

863604-56-2 CAPLUS
Pyrrolldine, 1.7-[[5-chloro-6-{2,4,6-trichlorophenyl){1,2,4}triazolo{1,5-a|pyriadin-7-y|}imino|methyl]- (9CI) (CA INDEX NAME)

L8 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2002:391719 CAPLUS DOCUMENT NUMBER: 136:401776 TITLE: Preparation of preventive or t

136:401776
Preparation of preventive or therapeutic medicines for diabetes containing fused-haterocycle compounds such as pyrazolopyrimidines
Kato, Fuminoriy Kimura, Hirohikoy Omatsu, Masatoy Yanamoto, Kazuhiroy Miyamoto, Ryuji
Ishihara Sangyo Kaisha, Ltd., Japan
PCT Int. Appl., 102 pp.
CODEN: PIXXD2
Patent

INVENTOR(S):

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE: LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2002040485	A1 20020523	WO 2001-JP10061	20011116
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BY,	BZ, CA, CH, CN,
CO, CR, CU,	CZ. DE. DK. DM.	DZ, EC, EE, ES, FI,	GB, GD, GE, GH,
		JP, KE, KG, KR, KZ,	
		MN, MW, MX, MZ, NO,	
		SL, TJ, TM, TR, TT,	
UZ. VN. YU.			,,
		SL, SZ, TZ, UG, ZM,	ZW. AT. BE. CH.
		GR, IE, IT, LU, MC,	
		GN, GO, GW, ML, MR,	
		JP 2001-346339	
		CA 2001-2429067	
		AU 2002-15223	
		EP 2001-983816	
		GB, GR, IT, LI, LU,	NL, SE, MC, PT,
	LV, FI, RO, MK,		
IN 2003KN00552		IN 2003-KN552	
US 2004043998	A1 20040304	US 2003-416164	20030515
US 7067520	B2 20060627		
PRIORITY APPLN. INFO.:		JP 2000-351764	
		WO 2001-JP10061	
OTHER SOURCE(S):	CASREACT 136:40	1776: MARPAT 136:4017	176
G1			

$$R^{10}$$
 N
 R^{2}
 N
 R^{2}

The title compds. I [G is CN, NO2, etc., R1 is halogeno, etc., R2 is halogeno, optionally substituted amino, etc., and R8 and R10 are each independently hydrogen, halogeno, or alkyl] are prepared Processes for preparing I are disclosed. Compds. of this invention at 50 mg/kg orally

ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) statistically significant decreases of blood sugar in diabetic mice. 429694-71-3P 429694-96-2P RE: IMF (Industrial manufacture), PAC (Pharmacological activity), SPN (Synthetic preparation), TRU (Therapeutic use), BIOL (Biological study), (PREP (Preparation), USES (Uses) (preparation) of preventive or therapeutic medicines for diabetes

containing

fused-heterocycle compds. or their salts)

RN 429694-71-3 CAPLUS

CN Acctamide, N-[6-cyano-5-(methylthio)pyrazolo[1,5-a]pyrimidin-7-y1]- (9CI)

(CA INDEX NAME)

429694-96-2 CAPLUS
Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 5-(methylthio)-7[(phenylmethylene)amino]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 3 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

245096-78-0 CAPLUS
Benzamide, N-[6-(2,3-dichlorophenyl)-5-(fluoromethyl)pyrazolo(1,5-a)pyrimdin-7-yl)-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)

L8 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:650390 CAPLUS
131:271882 131:271882
INVENTOR(\$): Preparation of pyrazolo[1,5-a]pyrimidines as nitrogen monoxide synthase inhibitors
Koji, Yasuno (Kamura, Taksahi) Hashimoto, Kinji, Kondo, Mitsuycshin Shibutani, Naotaka
Ohtsuka Pharmaceutical Co., Ltd., Japan
Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JOCKAF
DOCUMENT TYPE: Patent

DOCUMENT TYPE: Patent Japanese 1

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE JP 11279178
PRIORITY APPLN. INFO.:
OTHER SOURCE(S): 19991012 19990127 19980129 Α JP 1999-18861 JP 1998-17068

MARPAT 131:271882

Title compds. [I; R 1 = CH3(CH2)3, CF3CH2CH2, FCH2CH2, (4-FC6H4)2C:CHCH2, CF3CH2OCH2, OPr-n, OEt, CGH5(CH2)3, CGH5CH2; R2 = H, 2-pyraziny1; R3 = 4-MesC6H4, 3,4,5-(MeO)3CGH2, 2,4-(Cl)2CGH3, 4-PhSO2CGH4, 2-MeSO2CGH4, 2-MesOCGH4, 3-MesOCGH4, 2-MesOCGH4, 3-MesOCGH4, 2-MesOCGH4, 3-MesOCGH4, 2-MesOCGH4, 3-MesOCGH4, 2-MesOCGH4, 3-MesOCGH4, 3-MesOC

L8 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:
1998:246630 CAPLUS
129:246613
1171LE:
INVENTOR(S):
Adenosine feinforcement agents
Moritoki, Hideki, Iwamoto, Takeshi; Yasuda, Tsuneo
Octubent Type:
COEDN: JXXXAF

DOCUMENT TYPE:
COEDN: JXXXAF
Parent

DOCUMENT TYPE; LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE JP 10101672
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
GI 19980421 19970804 А JP 1997-208772 JP 1996-207171 19960806 MARPAT 128:248613

The title compds. [I, Rl = H, lower alkoxy or alkylthio, oxo, etc., R2 = naphthyl, cycloalkyl, (un) substituted phenoxy, etc., R3 = H, Ph, lower alkyl, H = H, lower alkyl, halo, aralkyl, etc., R5 = H, lower alkyl, R6 = H, lower alkyl, (un) substituted benzoyl, etc., Q = CO, SO2; A = single bond, lower alkylene or alkenylene; n = 0, 1] are presented as adenosine reinforcement agents. I, possessing adenosine reinforcement activity, are useful for prevention and treatment of heart attack, myocardial and brain infarction. Ten compds, of I were tested and showed excellent adenosine reinforcement activity. Formulation containing I were also prepared 174859-41-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(adenosine reinforcement agents)
174859-41-7 CAPLUS
Benzamids, N-(5-butyl-6-methylpyrazolo[1,5-a]pyrimidin-7-yl)-3,4,5trimethoxy- (9CI) (CA INDEX NAME)

ANSWER 4 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ANSWER 5 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued)

L8 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 1998:246629 CAPLUS DOCUMENT NUMBER: 128:248612 NITTUE: NITTUE: NITTUE: Mitrogen monooxide synthase in Moritoki, Hideki; Iwamoto, Tal

Nitrogen monooxide synthase inhibitors Moritoki, Hidekii Iwamoto, Takeshi; Yasuda, Tsuneo Ootsuks Pharmaceutical Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 25 pp. CODEN: JXOXAF PATENT ASSIGNEE (S) : SOURCE:

DOCUMENT TYPE: LANGUAGE: Patent

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. APPLICATION NO. KIND DATE DATE JP 10101671
PRIORITY APPLN. INFO.:
OTHER SOURCE(S): A 19980421 JP 1997-207867 JP 1996-209465 19970801 A 19960808 MARPAT 128:248612

The title compds. [I; R1 = H, lower alkowy or alkylthio, oxo, etc.; R2 = naphthyl, cycloalkyl, (un)substituted phenoxy, etc.; R3 = H, Ph, lower alkyl; R4 = H, lower alkyl, halo, aralkyl, etc.; R5 = H, lower alkyl, R6 = H, lower alkyl, un)substituted benzoyl, etc.; Q = C0. SO2; A = single bond, lower alkylene or alkenylene; n = 0, 1] are presented as NO synthase inhibitors. I are useful for prevention and treatment of septicemia. 14 Compds. of I were tested and showed excellent NO synthase inhibitory activity. Formulation containing I were also prepared 174859-417. RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pyrozolopyrimidine derivs. as nitrogen monooxide synthase inhibitors.)

(Uses)
(pyrozolopyrimidine derivs. as nitrogen monooxide synthase inhibitors)
174859-41-7 CAPIUS
Benzamide, N-(5-butyl-6-methylpyrazolo[1,5-a]pyrimidin-7-yl)-3,4,5trimethoxy- (9CI) [CA INDEX NAME)

L8 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
1397:465087 CAPLUS
127:81462
Preparation of triazolopyrimidine derivatives as ACAT
inhibitors
Sato, Masakazu; Mannaka, Akira; Takahashi, Keiko;
Tomizawa, Kazuyuki
Taisho Pharmaceutical Co., Ltd., Japan
DOCUMENT TYPE:
DOCUMENT TYPE:
PATENT INFORMATION:
139anese
145007

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	API	PLICATION NO.	DATE
JP 09169763	A	19970630	JP	1995-333247	19951221
JP 3716472	B2	20051116			
RIORITY APPLN. INFO.:			JP	1995-333247	19951221
THER SOURCE(S):	MARDAT	127 - 81462			

AB The title compds. (I, X = ASR1, A = C1-4 alkylene; R1 = C1-20 alkyl; R2 = H, C1-4 alkyl; R3 = Me, morpholino) are prepared I, possessing Acyl-CoA Cholesterolacyltransferase (ACAT) inhibitory activity, are useful as lipid lowering agents and arteriosclerosis remedies. Thus, Me (CR2):13SH was treated with NaH and then reacted with I (X = CMe2Br, R2 = Me, R3 = morpholino) (preparation given) to give the title compound I [X = CMe2S(CH2):13Me, R2 = Me, R3 = morpholino], which showed IC50 of 6.05 X 10-6 M against ACAT when tested with rabbits.

IT 191655-89-7 [9:1655-90-OP]
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of triazolopyrimidine derivs. as ACAT inhibitors)

RN 191655-89-7 CAPLUS
CN Acetamide, N-(5, 6-dimethyl[1, 2, 4|triazolo[1, 5-a]pyrimidin-7-yl)-2-(tetradecylthio)- (9CI) (CA INDEX NAME)

ANSWER 6 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191655-90-0 CAPLUS Propanamide, N-(5,6-dimethyl[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-2-methyl-3-(tetradecylthio)- (SCI) (CA INDEX NAME)

191655-98-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of triazolopyrimidine derive: as ACAT inhibitors)
191655-98-8 CAPLUS
Propanamide, 2-bromo-N-(5,6-dimethyl[1,2,4]triazolo(1,5-a]pyrimidin-7-yl)-2-methyl- (9C1) (CA INDEX NAME)

ANSWER 7 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) hydrogen, Ph or lower alkyl: R4 represents hydrogen, lower alkyl, lower alkyceptonyl, phenyl-substituted lower alkyl. Ph or halogen: R5 represents hydrogen or lower alkyl: R6 represents hydrogen, lower alkyl, phenyl-substituted lower alkyl no benzoyl: Q represents carbonyl or sulfonyl: A represents a single bond, lower alkylene or lower alkenylene; and n represents 0 or 1] are prepd. The title compd. II (prepn. given) at 3 mg/Kg orally showed potent analgesic activity in rats. 174859-41-7P
RL: BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES (Uses) (preparation of pyrazolopyrimidine derivs. as analgesics): 174859-41-7 CAPLUS.
Benzamide, N-(5-butyl-6-methylpyrazolo[1,5-a]pyrimidin-7-yl)-3,4,5-trimethoxy- (SCI) (CA INDEX NAME)

L8 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 1996:196727 CAPLUS DOCUMENT NUMBER: 124:261026

DOCUMENT NUMBER: TITLE: Preparation and formulation of pyrazolopyrimidine

Preparation and formulation of pyrazolopyrimidine derivatives as analgesics Shoji, Yasuo: Inoue, Makoto: Okamura, Takashi, Hashimoto, Kinji: Ohara, Masayuki: Yasuda, Tsuneo Otsuka Pharmaceutical Factory, Inc., Japan PCT Int. Appl., 89 pp. CODEN: PIXKO2 INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. CO PATENT INFORMATION: COUNT:

				APPLICATION NO.	
				WO 1995-JP1104	19950605
W: AU,	CA, CN,	KR, US			
RW: AT,	BE, CH,	DE, DK	, ES, FR,	GB, GR, IE, IT, LU, M	C, NL, PT, SE
CA 2169719		A1	19951228	CA 1995-2169719 AU 1995-25765	19950605
CA 2169719		C	20020416		
AU 9525765		A	19960115	AU 1995-25765	19950605
AU 680370		B2	19970724		
EP 714898		A1	19960605	EP 1995-920260	19950605
EP 714898		B1	20011114		
R: AT.	BE. CH.	DE. DK	. ES. FR.	GB. GR. IE. IT. LI. L	U, MC, NL, PT, SE
CN 1131948		A	19960925	CN 1995-190760	19950605
CN 1046730		В	19991124		
JP 08311066	9	A	19961126	CN 1995-190760 JP 1995-137878 JP 1995-137890	19950605
JP 3163412		B2	20010508		
JP 08310951	l .	A	19961126	JP 1995-137890	19950605
AT 208776		T	20011115	AT 1995-920260 ES 1995-920260 PT 1995-920260 US 1996-602824	19950605
ES 2164153		т3	20020216	ES 1995-920260	19950605
PT 714898		Ť	20020429	PT 1995-920260	19950605
115 5707997		À	19980113	US 1996~602824	19960221
PRIORITY APPLN.	INFO -			JP 1994-138635	A 19940621
I MI OMILI MI I DN.				JP 1995-53997	A 19950314
				JP 1995-53997 WO 1995-JP1104	W 10050606
OTHER SOURCE(S)		MADDAT	124.2610		w 19930003
OTHER SOURCE(S):		MARPAI	124:2010	20	

The title compds. I [R1 represents hydrogen, lower alkyl, cycloalkyl, thienyl, furyl, lower alkenyl or phenyl) R2 represents naphthyl, cycloalkyl, furyl, thienyl, pyridyl, phenoky or phenyl; R3 represents

L8 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
11991:101919 CAPLUS
114:101919
1,2,4-triazolo[1,5-a]-pyrimidines. Part 8. Reactions of amino- and hydrazino-1,2,4-triazolo[1,5-a]-pyrimidines
derivatives with dimethylfornamide dimethyl acetal
Hempel, Ute, Lippmann, Eberhard, Tenor, Ernst
Sekt. Chem., Karl-Marx-Univ., Leipzig, DDR-7010, Ger.
Dem. Rep.
2eitschrift fuer Chemie (1990), 30(9), 320-1
CODEN: ZECEAL, ISSN: 0044-2402
Journal
Gernan
CASREACT 114:101919

OTHER SOURCE(S):

AB The preparation of amidine derivs. of Rocornal was described. The amidination of 7-amino-1, 2, 4-triazolo[1,5-a]pyrimidine derivs. with Me2NCH(OMe) 2 gave N,N-dimethyl-N'-(5-methyl-1,2,4-triazolo[1,5-a]pyrimidi-7-yl)formamidines I (R1 = N, HCOMe) R2 = H, piperidinomethyl, corpholinomethyl, pyrrolidinomethyl, CHZNEt2, NO2; R3 = N:CINMe2). The reaction of I (R1 = R2 = H, R3 = N:CINMe2) = N:CINMe2). The reaction of I (R1 = R2 = H, R3 = N:CINMe2). The reaction of 7-hydrazino-5-methyl-1,2,4-triazolo[1,5-a]pyrimid-7-yl)formamidoxime. The reaction of 7-hydrazino-5-methyl-1,2,4-triazolo[1,5-a]pyrimid-7-yl)formamidoxime with Me2NCH(OMe) 2 gave only the methylated product, i.e., N,N-dimethyl-N'-(5-methyl-1,2,4-triazolo[1,5-a]pyrimid-7-yl)formamidrazone. The reaction of 6-amino-5-methyl-1,2,4-triazolo[1,5-a]pyrimid-7-yl)formamidrazone. The reaction of 6-amino-5-methyl-1,2,4-triazolo[1,5-a]pyrimid-7-yl]-50-2P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
RN 122375-46-6 CAPLUS
CN Methanimidamide, N,N-dimethyl-N'-[5-methyl-6-(4-morpholinylmethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]- (9C1) (CA INDEX NAME)

122375-48-8 CAPLUS

Methanimidamide, N,N-dimethyl-N'-{5-methyl-6-(1-piperidinylmethyl){1,2,4}triazolo{1,5-a}pyrimidin-7-yl}- (9CI) (CA INDEX NAME)

ANSWER 8 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

122375-49-9 CAPLUS
Methanimidamide, N,N-dimethyl-N'-{5-methyl-6-(1pyrrolidinylmethyl){1,2,4}triazolo{1,5-a}pyrimidin-7-yl}- (9CI) (CA INDEX NAME)

ANSWER 9 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

122375-49-9 CAPLUS
Methanimidamide, N,N-dimethyl-N'-[5-methyl-6-(1-pyrrolidinylmethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

122375-50-2 CAPLUS
Methanimidamide, N'-[6-[(diethylamino)methyl]-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

L8 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 1989:515204 CAPLUS DOCUMENT NUMBER: 111:115204

DOCUMENT NUMBER: TITLE:

111:115204
Preparation of N,N-dimethyl-N'-(5-methyl-1,2,4-triazolo[1,5-a]pyrimid-7-yl]formamidines
Hempel, Uter Lippmann, Eberhard; Stopp, Helga; Tenor,
Ernstr Thomas, Eckhard
VEB Deutsches Hydrierwerk, Ger. Dem. Rep.
Ger. (East), 3 pp.
CODEN: GEXXX8
Patent INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC, NUM. CO PATENT INFORMATION: Patent German 1

PATENT NO. KIND. DATE APPLICATION NO. DATE DD 264438 PRIORITY APPLN. INFO.: A1 DD 1987-306940 DD 1987-306940 19890201 OTHER SOURCE(S): CASREACT 111:115204; MARPAT 111:115204

The title compds. (I; R = N:CHNMe2; R1 = H, alkyl; R2 = H, piperidinomethyl, morpholinomethyl, pyrrolidinomethyl, CH2NEt2) were prepared by condensation of I (R = NH2) with HC(OMe)2NHe2 (II). Thus, I (R = NH2, R1 = R2 = H) was refluxed 2 h with II in PhMe to give 66% (R = N:CHNNe2, R1 = R2 = H).

122375-46-6P 122375-48-9P 122375-49-9P

122375-02P

RL: SPN (Synthetic preparation), PREP (Preparation) (preparation of)

122375-46-6 CAPLUS

Methanimidamide, N,N-dimethyl-N'-[5-methyl-6-(4-morpholinylmethyl) (1,2,4]triazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

122375-48-8 CAPLUS
Methanimdamide, N,N-dimethyl-N'-[5-methyl-6-[1piperidinylmethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX

L8 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 1966: 27540 CAPLUS OCCUMENT NUMBER: 64: 27540 CAPLUS CAPLU

64:27540
64:5086g-h,5087a-h,5088a-d
Syntheses of pyrazole derivatives. XI. Acetylation products of 7-aminopyrazolo[1,5-a]pyrimidines. Supplement Takamizawa, Akirar Hamashima, Yoshio Shionogi Co., Ltd., Osaka Chemical & Pharmaceutical Bulletin (1965), 13(10), 1207-20

AUTHOR (S)

CORPORATE SOURCE: SOURCE:

AUTHOR(S): Takamizawa, Akira. Hamashima, Yoshio
CORPORATE SOURCE: Shinongi Co., Ltd., Osaka
Chemical & Pharmaceutical Bulletin (1965), 13(10),
1207-20
CODEN: CPBTAL, ISSN: 0009-2363

DOCUMENT TYPE: Journal
LANGUAGE: English
AB cf. CA 63, 5644b. The steric effect of substituents at C-6 of
pyrazolopyrimidine ring on the NHZ group at C-7 was investigated. A mixture
of 2 g. 7-amino-2, 5-dimethylpyrazolo[1,5-a]pyrimidine, 0 ml. Ac20, and 20
ml. pyridine was heated at 105° for 5.5 hrs. to give 1.8 g.
7-acetamido-2,5-dimethylpyrazolo[1,5-a]pyrimidine, m. 83-4°. The
same reaction could be carried out with AcCl in pyridine. Similarly 500
mg. 2-methyl-5-phenyl-7-acetamidopyrazolo[1,5-a]pyrimidine, awa 46.8 g.
2-methyl-5-phenyl-7-acetamidopyrazolo[1,5-a]pyrimidine gave 84.88 gield of 5-phenyl-7-acetamido-2,3-dimethylpyrazolo[1,5-a]pyrimidine gave 84.88 gield of 5-phenyl-7-acetamido-2,3-dimethylpyrazolo[1,5-a]pyrimidine gave 84.88 gield of 5-phenyl-7-acetamido-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 165-6°. On the other hand acetylation of 500 mg.
2-phenyl-7-anino-5,6-dimethylpyrazolo[1,5-a]pyrimidine with 5 ml. Ac20 and
15 ml. pyridine at 100° 3 hrs. gave 84.78 2-phenyl-7-diacetylamino-5
5,6-dimethylpyrazolo[1,5-a]pyrimidine, m. 168-9°. Mild acetylation of 500 mg. 6-phenyl-7-aretamido-2,3,5-trimethylpyrazolo[1,5-a]pyrimidine at 100° for 12 hrs. gave 490 mg. 6-phenyl-7-acetamido-2,3,5-trimethylpyrazolo[1,5-a]pyrimidine, m. 100°.
These results indicated that 7-amino group gave a diacetate when an alkyl or aryl group was present at C-6. Compds. with electrones. COOEt and CN
groups at C-6 were examined Thus, acetylation of 1 g. ethyl
2-methyl-7-aminopyrazolo[1,5-a]pyrimidine, m. 105°.
These results indicated that 7-amino group gave a diacetate when an alkyl
or aryl group was present at C-6. Compds. with electrones. COOEt and CN
groups at C-6 were examined Thus, acetylation of 1 g. ethyl
2-methyl-7-diacetylaminopyrazolo[1,5-a]pyrimidine-6-carboxylate (N).
2-methyl-7-diacetylaminopyrazolo[1,5-a]pyrimidine-6-carboxylate (N).
3

1.42 g. hydriodide, m. 205°, which on neutralization gave ethyl

ANSWER 10 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
7-imino-2, 3, 4-trimethyl-4, 7-dihydropyrazolo[1, 5-a]pyrimidine-6-carboxylate
(VII) m. 220°. Its acetylation gave VI. Hydrolysis of VI and VII
with 204 HCl under reflux for 24 hrs. gave the known 2, 3, 4trimethylpyrazolo[1, 5-a]pyrimidine-7(4H)-one. Just as methylation,
ethylation of 2.2 g. 1 gave 564 mg. ethyl 2-methyl-4-trimino-4,7dihydropyrazolo[1, 5-a]pyrimidine-6-carboxylate, m. 155-6°, and 2 g.
11I gave ethyl 4-ethyl-7-imino-2, 3-dimethylpyrazolo[1, 5-a]pyrimidine-6-carboxylate, m. 181-2°. On the other hand
acetylation of 500 mg. 7-amino-2, 3-dimethylpyrazolo[1, 5-a]pyrimidine-6-carbonitrile with 10 ml. pyridine and 5 ml. Ac20 at room temp. for 30 hrs.
gave only the monoacetate, 7-acetamido-2, 3-dimethylpyrazolo[1, 5-a]pyrimidine-6-carbonitrile, m. 204-5°, which was also obtained by
the acetylation at 10° for 8 hrs. An explanation was suggested to
explain these results. Benzoylation was next tried. Treatment of 1 g.
7-amino-2,5-dimethylpyrazolo[1,5-a]pyrimidine with 10 ml. pyridine and
1.86 g. BzCl at 110° for 1 hr. gave 1.2 g. 7-benzamido-2,5dimethylpyrazolo[1,5-a]pyrimidine, m. 1139-9°. Similarly, 250 mg.
7-amino-3,6-dimethylpyrazolo[1,5-a]pyrimidine gave 200 mg.
7-amino-3,6-dimethylpyrazolo[1,5-a]pyrimidine m. 187-8°.
Similarly, other 7-acylamino compds. were preped. Thus, a suspension of
5.7 g. 7-amino-2,3-dimethylpyrazolo[1,5-a]pyrimidine and 5 g. K2CO3 in 40
ml. dimethylformamide was treated with ClCHZCOC1 and the mixt. heated on a
steam bath for 6 hrs. to give 1,94 g. 7-(2-chloroacetamido)-2,3-dimethylpyrazolo[1,5-a]pyrimidine mn and 5 g. K2CO3 in 40
ml. dimethylpyrazolo[1,5-a]pyrimidine (VIII), m. 175°. Replacement of
ClCHZCOC1 by (ClcHZCOC2) 20 and carrying out the reaction in CHC13 did not
proceed, but on refluxing 1 g. 1X with 1 g. anhydride in CHC12 for 5 hrs.
gave 360 mg. 7-(2-chloroacetamido)-2,3-6trimethylpyrazolo[1,5-a]pyrimidine (XI) m. 119°. whose structure
was proved by its spectral data. When ClCHZCOC1 was replaced b

L8 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 1966:11534 CAPLUS DOCUMENT NUMBER: 64:11534 ORIGINAL REFERENCE NO.: 64:2102f-9 7-Aminopyrazolo[1,5-a]pyrimidine derivatives Takamizawa, Akira, Hayashi, Sadao, Hamashima, Yoshio Shionogi & Co., Ltd. TITLE: INVENTOR (S): PATENT ASSIGNEE(S): SOURCE: 3 pp. Patent DOCUMENT TYPE: LANGUAGE: Unavailable FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	JP 40018757	B4	19650823	JP	19630907
RIOR	ITY APPLN. INFO.:			JP	19630907
31	For diagram(s), see	printed	CA Issue.		

Manufacture of I, useful as analgesics and antiinflammatory agents, was described. Thus, a solution of 500 mg. 2,3-dimethyl-7-aminopyrazolo[1,5-a]pyrindidne in 10 ml. CSHSN is heated on a steam bath 3 hrs. with 5 ml. Ac20, the whole concentrated in vacuo, and the residue dissolved in H20,

alkaline, and extracted with AcOEt to give 480 mg. I(R1 = R2 = Me, R3 = R4

ANSWER 10 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) hydrogenation under the same conditions gave 76.21 2,3-dimethyl-4,5,6,7-tetrahydropyrazolo[1,5-a]pyrimidine, m. 141-2'. Similarly 500 mg. XII gave 350 mg. 2,3,6-trimethylpyrazolo[1,5-a]pyrimidine, m. 110' and 72.51 yield of 2,6-trimethylpyrazolo[1,5-a]pyrimidine, m. 110' and 72.51 yield of 2,6-trimethylpyrazolo[1,5-a]pyrimidine, m. 169-70' and 213 mg. XIII gave 156 mg. 2,3,5-trimethylpyrazolo[1,5-a]pyrimidine, m. 81', hydrochloride, m. 179'. A mixt. of 300 mg. XI and excess of MeNH2 in CHC13 was heated in a sealed tube at 150' for 8 hrs. to give 7-methylamino-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 145-6' and was also obtained by hydrogenation of 7-formanido-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 157', 7-methylamino-2,3,5-trimethylpyrazolo[1,5-a]pyrimidine, m. 17', 7-dimethylamino-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 17', hydrochloride, m. 240', 7-dimethylamino-2,3,6-trimethylpyrazolo[1,5-a]pyrimidine, m. 17', hydrochloride, m. 250', 2,3,5-trimethyl-7-piperidineyminino-2,3,5-trimethylpyrazolo[1,5-a]pyrimidine, m. 84', hydrochloride, m. 205', 7-dimethylamino-2,3-pyrimidine, m. 132', hydrochloride, m. 205', 7-dimethylamino-2,3-pyrimidine, m. 185-6', hydrochloride, m. 205', 7-dimethylamino-2,3-pyrimidine, m. 185-6', hydrochloride, m. 248', and 7-(dimethylamino)-2,3-pyrimidine, m. 184', hydrochloride,

4385-22-2P, Pyrazolol1,5-a]pyrimidine, 7-acetamido-2,3,5-trimethyl-6-phenyl-RL: PREP (Preparation) (preparation of) 4385-22-2 CAPLUS Acetamide, N-(2,3,5-trimethyl-6-phenylpyrazolo(1,5-a)pyrimidin-7-yl)-(8CI) (CA INDEX NAME)

ANSWER 12 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN SSION NUMBER: 1964:3162 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: ORIGINAL REFERENCE NO.: TITLE: 60:3162

60:3162
60:523e-q
Condensed heterocycles, IV. Condensation of
3-amino-1,2,4-triazoles with diaceto- and
dipropionitriles
Levin, Ya. A.; Kukhtin, V. A.
Cine-Photo Res. Inst., Kazan
Zhurnal Obschei Khimii (1963), 33(8), 2678-82
CODEN: ZOKHA4; ISSN: 0044-460X

AUTHOR(S): CORPORATE SOURCE: SOURCE:

DOCUMENT TYPE: LANGUAGE:

Aburnal Obshehei Khimii (1963), 33(8), 2678-82
CODEN: ZOKHA47 ISSN: 0044-460X

MENT TYPE:
JOURNAL
JOURNAL
JOHNAL
FOR Giagram(s), see printed CA Issue.

For Giagram(s), see printed CA Issue.

Heating 3-amino-5-substituted 1,2,4-triazoles with substituted
P-aminoacrylonitriles 30-40 min at 155-200° gave (Ia) (R, R',
R' * yseld, and mp. shown, resp.): H Me. H (I), 84, 246-7'
[picrate decomposed 212-14'), Pr. Me. H, 61, 180-1', C6H13,
Me. H, 56, 128-30' H, Et. Me (II), 72, 262-3', Pr. Et. Me,
13, 225-6' . I refluxed with Ac2 in C5H6N gave the Ac derivative, m.
230'; similarly was prepared Ac derivative of II, m. 1402',
purified on Al203 in C6H6. I and tosyl choride gave 753
ptoluenesulfonamido analog, decomposed 283-5' (A 304 mm).
Treated with Br vapors at 60' in H20, I gave 884
4-mino-5bromo-6-methyt-1,2,4-triazolo[2,3-a]pyrimidine, decomposed
2457' (A 26I and 298 mm). I and aqueous I-KI in the presence
of K2C03 at 70-80' gave 4-maino-6-methyl-5-iodo-1,2,4-triazolo[2,3-a]pyrimidine, decomposed 233-5' (A 260 and 300 mm).
4-Chloro-5-hexyl-6-methyl-1,2,4-triazolo[2,3-a]pyrimidine, decomposed 233-5' (A 260 and 300 mm).
4-Chloro-5-hexyl-6-methyl-1,2,4-triazolo[2,3-a]pyrimidine, m. 412',
formed in 82'l yield from the 4-oxo analog by refluxing in P0Cl3 3 hrs.
Treated with NH3 in EtOH at 0', then heated 3 hrs. in an ampul at
100', this gave 834 4-amino-5-hexyl-6-methyl-1,2,4-triazolo[2,3-a]pyrimidine, m. 230-1', which could not be prepared by the above
condensation of aminotriazole with dipropinitrile even at 230'. I
and concentrated HCl in 5 hrs. at 140' in a sealed tube gave
3-amino-1,2,4-triazolo[1,5-a]pyrimidine, 7-acetamido-5-ethyl-6methylRL: FREP (Preparation)

(FILE 'HOME' ENTERED AT 17:03:50 ON 27 JUL 2007)

FILE 'REGISTRY' ENTERED AT 17:04:05 ON 27 JUL 2007

L1 STRUCTURE UPLOADED

L2 2 S'L1

L3 12 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 17:06:12 ON 27 JUL 2007

L4 10 S L3

FILE 'REGISTRY' ENTERED AT 17:19:28 ON 27 JUL 2007

L5 STRUCTURE UPLOADED

L6 3 S L5

L7 20 S L5 SSS FULL

FILE 'CAPLUS' ENTERED AT 17:20:11 ON 27 JUL 2007

L8 12 S L7

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

FULL ESTIMATED COST

ENTRY 67.94

SESSION 476.74

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

CA SUBSCRIBER PRICE

ENTRY -9.36

SESSION -17.16

STN INTERNATIONAL LOGOFF AT 17:26:14 ON 27 JUL 2007

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSPTAJHM1624

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

NEWS 1 Web Page for STN Seminar Schedule - N. America

NEWS 2 MAR 15 WPIDS/WPIX enhanced with new FRAGHITSTR display format

NEWS 3 MAR 16 CASREACT coverage extended

NEWS 4 MAR 20 MARPAT now updated daily

NEWS 5 MAR 22 LWPI reloaded

NEWS 6 MAR 30 RDISCLOSURE reloaded with enhancements

NEWS 7 APR 02 JICST-EPLUS removed from database clusters and STN

NEWS 8 APR 30 GENBANK reloaded and enhanced with Genome Project ID field

NEWS 9 APR 30 CHEMCATS enhanced with 1.2 million new records

NEWS 10 APR 30 CA/CAplus enhanced with 1870-1889 U.S. patent records

NEWS 11 APR 30 INPADOC replaced by INPADOCDB on STN

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NEWS 12
        MAY 01 New CAS web site launched
NEWS 13
        MAY 08
                CA/CAplus Indian patent publication number format defined
NEWS 14
        MAY 14
                RDISCLOSURE on STN Easy enhanced with new search and display
                 fields
NEWS 15
        MAY 21
                BIOSIS reloaded and enhanced with archival data
        MAY 21
                 TOXCENTER enhanced with BIOSIS reload
NEWS 16
                 CA/CAplus enhanced with additional kind codes for German
NEWS 17
        MAY 21
                 patents
        MAY 22
                CA/CAplus enhanced with IPC reclassification in Japanese
NEWS 18
                 patents
NEWS 19
        JUN 27
                 CA/CAplus enhanced with pre-1967 CAS Registry Numbers
NEWS 20
        JUN 29
                STN Viewer now available
NEWS 21
        JUN 29
                STN Express, Version 8.2, now available
        JUL 02 LEMBASE coverage updated
NEWS 22
        JUL 02 LMEDLINE coverage updated
NEWS 23
NEWS 24 JUL 02 SCISEARCH enhanced with complete author names
NEWS 25 JUL 02 CHEMCATS accession numbers revised
        JUL 02
NEWS 26
                CA/CAplus enhanced with utility model patents from China
NEWS 27
        JUL 16
                CAplus enhanced with French and German abstracts
NEWS 28
        JUL 18
                CA/CAplus patent coverage enhanced
```

USPATFULL/USPAT2 enhanced with IPC reclassification

NEWS EXPRESS 29 JUNE 2007: CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 05 JULY 2007.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
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NEWS IPC8 For general information regarding STN implementation of IPC 8

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FILE 'HOME' ENTERED AT 17:34:14 ON 27 JUL 2007

=> file registry
COST IN U.S. DOLLARS

NEWS 29

JUL 26

SINCE FILE TOTAL ENTRY SESSION 0.42 0.42

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 17:35:30 ON 27 JUL 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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STRUCTURE FILE UPDATES: 26 JUL 2007 HIGHEST RN 943513-14-2 DICTIONARY FILE UPDATES: 26 JUL 2007 HIGHEST RN 943513-14-2

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TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

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http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\Stnexp\Queries\10 series\10589496\10589496c.str

```
chain nodes :
11  14  15  18  19  20
ring nodes :
1  2  3  4  5  6  7  8  9
chain bonds :
4-11  5-14  6-15  8-18  11-19  11-20
ring bonds :
1-2  1-6  2-3  2-7  3-4  3-9  4-5  5-6  7-8  8
```

exact/norm bonds:
1-2 1-6 2-3 2-7 3-4 3-9 4-5 4-11 5-6 5-14 6-15 7-8 8-9 8-18 11-19
11-20

G1:C,N

G2:C,O,S,N,Ak,Cy

G3:C,Cy,Ak

G4:CN, X, C, S, N, Ak, Cb, O

G5:CN,NH2,NO2,Ak,C,H,N,X,Cb

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:CLASS 14:CLASS 15:CLASS 18:CLASS 19:CLASS 20:CLASS

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 · STR

$$G5$$
 N
 N
 $G3$
 $G4$

G1 C,N

G2 C,O,S,N,Ak,Cy

G3 C, Cy, Ak

G4 CN, X, C, S, N, Ak, Co, O

G5 CN, NH2, NO2, Ak, C, H, N, X, Cb

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 17:35:55 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1346 TO ITERATE

100.0% PROCESSED

1346 ITERATIONS

35 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 24720 TO 29120

346 TO PROJECTED ANSWERS: 1054

35 SEA SSS SAM L1 L2

=> d scan .

35 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N5-(2-ethyl-4-methylphenyl)-N7-(2methoxyethyl)-6-methyl-N7-propyl- (9C1)
C22 H31 N5 O

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

L2 35 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-fluoro-6-methylphenyl)-N-methyl-N-(1-methylethyl)- (9C1)
MF C16 H17 C1 F N5

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

35 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN :
Pyrazolo[1,5-a]pyrimidin-7-amine, 3,5-dichloro-N-methyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)- (9CI)
C17 H13 C12 F3 N4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

```
chain nodes :
11  14  15  18  19  20  21
ring nodes :
1  2  3  4  5  6  7  8  9
chain bonds :
4-11  5-14  6-15  8-18  11-19  19-20  19-21
ring bonds :
1-2  1-6  2-3  2-7  3-4  3-9  4-5  5-6  7-8  8-9
exact/norm bonds :
1-2  1-6  2-3  2-7  3-4  3-9  4-5  4-11  5-6  5-14  6-15  7-8  8-9  8-18  11-19
19-20  19-21
```

G1:C,N

G2:C,O,S,N,Ak,Cy

G3:C,Cy,Ak

G4:CN, X, C, S, N, Ak, Cb, O

G5:CN,NH2,NO2,Ak,C,H,N,X,Cb

Match level :

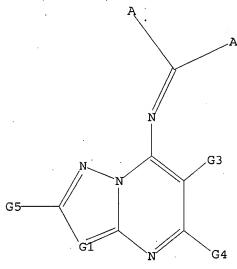
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:CLASS 14:CLASS 15:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS

L3 STRUCTURE UPLOADED

=> d 13

L3 HAS NO ANSWERS .

L3 STR



G1 C,N

G2 C,O,S,N,Ak,Cy

G3 C, Cy, Ak

G4 CN, X, C, S, N, Ak, Cb, O

G5 CN,NH2,NO2,Ak,C,H,N,X,Cb

Structure attributes must be viewed using STN Express query preparation.

SAMPLE SEARCH INITIATED 17:37:39 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 914 TO ITERATE

100.0% PROCESSED 914 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE** .

PROJECTED ITERATIONS:

16467 TO 20093

PROJECTED ANSWERS:

2 TO

124

2 SEA SSS SAM L3

=> d scan

2 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN Propanamade, N-(5,6-dimethyl{1,2,4}triazolo{1,5-a}pyrimidin-7-yl}-2-methyl-3-(tetradecylthio)- (9CI) C25 H43 N5 O S

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s 13 full sss FULL SEARCH INITIATED 17:38:38 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 18655 TO ITERATE

100.0% PROCESSED 18655 ITERATIONS

SEARCH TIME: 00.00.01

8 SEA SSS FUL L3

=> file caplus

L5

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

8 ANSWERS

ENTRY

SESSION

174.35

174.77

FULL ESTIMATED COST

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=> s 15

L6

6 L5

=> d l6 1-6 ibib abs hitstr

L6 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER:
DOCUMENT NUMBER:
113:266949
1143:266949
115TLE:
Preparation of azolopyrimidines as agrochemical fungicides.
Schweegler, Anjar Gewehr, Markuss Mueller, Berndr, Grote, Thomass Grammenos, Wassilioss Tormo i Blasco, Jordis Rheinheimer, Joachims Blettner, Carstens Schaefer, Peter; Schieweck, Franks Wagner, Oliver; Stierl, Reinhards Schoefl, Ulrichs Strathmann, Siegfried Scherer, Maria
BASF Aktiengesellschaft, Germany
COURN: PIXXID2
DOCUMENT TYPE:
DOCUMENT TYPE:
DOCUMENT TYPE:
COEMS PIXXID2
Patent
German

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE A2 A3 20050901 20051124 WO 2005080396 WO 2005080396 20050224 WO 2005-EP1965 WO 2005080396

W: AE, AG, AL,
CN, CO, CR,
GE, GH, GH,
LK, LR, LS,
NO, NZ, OH,
TJ, TN, TN,
RW: BW, GH, GM,
AZ, BY, KG,
EE, ES, FI,
RO, SE, SI,
HR, NE, SN,
EP 1720879
R: AT, BE, BG,
IS, IT, LI,
PRIORITY APPLN. INFO:

OTHER SOURCE(S): MARPAT 143:266948

Title compds. [I; A = N, CR6; X, Y = bond, O, S, NR7; R1, R2 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, Ph, phenylalkyl, naphthyl, alayhthylalkyl, (aromatic) heterocyclyl, heterocyclylalkyl, etc.; YR1, XR2 = H, cyano, NO2, halo, atoms to form (substituted) (heterocyclic) 5-7 membered rings, etc.; R3 = (substituted)

L6 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2002:391719 CAPLUS DOCUMENT NUMBER: 136:401776 TITLE: Preparation of preventive or

136:401776
Preparation of preventive or therapeutic medicines for diabates containing fused-heterocycle compounds such as pyrazolopyrimidines
Kato, Fuminori Ximure, Hirohiko: Omatsu, Masato: Yamamoto, Kazuhiror Miyamoto, Ryuli Ishihara Sangyo Kaisha, Ltd., Japan PCT Int. Appl., 102 pp.

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

Patent

DOCUMENT TYPE: LANGUAGE: Japanese

FAMILY ACC, NUM. COUNT: PATENT INFORMATION:

	PA*	TENT	NO.					DATE								۵	ATE	
							-									-		
	WO	2002	0404	85		A1		2002	0523		WO 2	2001-	JP10	061		2	0011	116
		W:	AE,	AG,	AL.	AM,	AT.	AU.	AZ.	BA.	BB.	BG.	BR,	BY,	BZ.	CA,	CH,	CN,
			CO.	CR.	CU.	CZ.	DE.	DK.	DM.	DZ.	EC.	EE,	ES.	FI.	GB.	GD.	GE.	GH,
												KG,						
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		RW:						MZ.	SD.	St.	52.	TZ,	UG.	ZM.	Z₩.	AT.	BE.	CH.
		••										IT,						
												GW,						
	.TD	2002																
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	AII	2429 2002	1522	3		, .		2002	0523		AII 3	2001-	1522	3		2	0011	116
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	E.											IT,						
		K.							MK,				ы,	LU,	142,	JE,	nc,	F1,
	731	2002	2100		LI,	Ε,,	F1,	2005	0211	C1,		11	VALE E	2		-	0030	430
	116	2003 2004	0430	002		٠,		2003	0311		1N 4	2003-	1161	٤.			0030	430
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						82		2006	0627									
,	RIORIT	1 APP	LN.	INFO	• •							2000-						
												2001-				w 2	0011	116
(THER S	OUNCE	(5):			CAS	KEAC	т 13	b: 40	1776	; M?	KLAT	136	:401	116			

The title compds. I [G is CN, NO2, etc., Rl is halogeno, etc., R2 is halogeno, optionally substituted amino, etc., and R8 and R10 are each independently hydrogen, halogeno, or alkyl] are prepared Processes for preparing I are disclosed. Compds. of this invention at 50 mg/kg orally gave

ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) alkyl, alkenyl, alkadienyl, alkynyl, cycloalkyl, cycloalkenyl, bicycloalkyl, Ph, phenylalkyl, naphthyl, (arom.) heterocyclyl, heterocyclylalkyl, etc., R4 = halo, cyano, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, cycloalkynyl, etc., were prepd. Thus, a -8' mixt. of POCI3 and DMF was treated with 7-amino-5-chloro-6-(2,4,6-trifluorophenyl)triazolo[1,5-a]pyrimidine hydrochloride in DMF and Et3N to give 665 1 (YR1 = NM62, XR2, R5 = H, R3 = 2,4,6-trifluorophenyl R4 = Cl). The latter at 250 ppm reduced incidence of Alternaria solani on tomatoes to 51%, vs. 100% for untreated controls.
863604-57-3P 863604-58-4P

e03004-3/-3F 863604-58-4P RL: AGR (Agricultural use), BSU (Biological study, unclassified), SPN (Synthetic preparation), BIOL (Biological study), PREP (Preparation), USES (Uses)

(Uses)
(preparation of azolopyrimidines as agrochem. fungicides)
863604-57-3 CAPLUS
Acetamide, N-[S-chloro-6-(2,4,6-trichlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

863804-58-4 CAPLUS Propanamide, N-[S-chloro-6-(2,4,6-trichlorophenyl)[1,2,4]triazolo[1,5-alpyrimidin-7-yl]- (9C1) (CA INDEX NAME)

ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) statistically significant decreases of blood sugar in diabetic mice. 42694-7139

429694-71-3P RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of preventive or therapeutic medicines for diabetes

(preparation of preventive or therapeutic medicines for diabetes containing fused-heterocycle compds. or their salts)

RN 429694-71-3 CAPLUS

CN Acctanide, N-[6-cyano-5-(methylthio)pyrazolo[1,5-a]pyrimidin-7-y1]- (9CI)
(CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Preparation of triazolopyrimidine derivatives as ACAT

Sato, Masakazu: Mannaka, Akira: Takahashi, Keiko: INVENTOR (S):

Tomizawa, Kazuyuki Taisho Phermaceutical Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 6 pp. CODEN: JXXXAF PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE JP 09169763 JP 3716472 19970630 20051116 JP 1995-333247 19951221 A· B2 PRIORITY APPLN. INFO.: JP 1995-333247 19951221 OTHER SOURCE(S): MARPAT 127:81462

HNCOASR1

AB The title compds. (I; X = ASR); A = C1-4 alkylene; R1 = C1-20 alkyl; R2 = H, C1-4 alkyl; R3 = Me, morpholino) are prepared I, possessing Acyl-CoA Cholesterolacyltransferase (ACAT) inhibitory activity, are useful as lipid lovering agents and arteriosclerosis remedies. Thus, Me (CHZ) 13SH was treated with NaH and then reacted with I (X = CMe2Br, R2 = Me, R3 = morpholino) (preparation given) to give the title compound I (X = CMe2S (CHZ) 13Me, R2 = Me, R3 = morpholino), which showed IC50 of 6.05 X 10-6 M against ACAT when tested with rabbits.

IT 191655-89-7 P 191655-90-0P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified), SFN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation) USES (Uses) (preparation of triazolopyrimidine derivs. as ACAT inhibitors)

RN 191655-89-7 CAPIUS

CN Acetamide, N-(5,6-dimethyl{1,2,4}triazolo(1,5-a)pyrimidin-7-yl}-2-(tetradecylthio)- (9C1). (CA INDEX NAME)

L6 ANSWER 4 OF 6
ACCESSION NUMBER:
D66:27540 CAPLUS
ORIGINAL REFERENCE NO.:
64:5086g-h,5087a-h,5088a-d
SYNTHOMER:
SYNTHOMER:
AUTHOR(S):
AUTHOR(S):
CORPORATE SOURCE:
SOURCE:
CORPORATE SOURCE:
C CODEN: CPBTAL; ISSN: 0009-2363

UMENT TYPE:

JOURNAI

GOAGS:

English

of. CA 63, 5644b. The steric effect of substituents at C-6 of
pyrazolopyrimidine ring on the NNI2 group at C-7 was investigated. A mixture
of 2 g, 7-amino-2,5-dimethylpyrazolo[1,5-a]pyrimidine, 10 ml. Ac2O, and 20
ml. pyridine was heated at 105 for 5.5 hrs. to give 1.8 g,
7-acetamido-2,5-dimethylpyrazolo[1,5-a]pyrimidine, m. 83-4*. The
same reaction could be carried out with AcCl in pyridine. Similarly 500
mg, 2-methyl-5-phenyl-7-aminopyrazolo[1,5-a]pyrimidine, m. 196-8*;
and 5-phenyl-7-amino-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 196-8*;
and 5-phenyl-7-aroctamidopyrazolo[1,5-a]pyrimidine, m. 196-8*;
on the other hand acetylation of 500 mg,
2-phenyl-7-anino-5,6-dimethylpyrazolo[1,5-a]pyrimidine gave 84.8*
yield of 5-phenyl-7-acetamido-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m.
165-6*. On the other hand acetylation of 500 mg,
2-phenyl-7-anino-5,6-dimethylpyrazolo[1,5-a]pyrimidine with 5 ml. Ac2O and
15 ml. pyridine at 100* 3 hrs. gave 84.78 2-phenyl-7-diacetylamino5,6-dimethylpyrazolo[1,5-a]pyrimidine, m. 168-9*. Mild acetylation of
5,6-dimethylpyrazolo[1,5-a]pyrimidine, m. 168-9*. Mild acetylation of
5,6-dimethylpyrazolo[1,5-a]pyrimidine, m. 168-9*. Mild acetylation of
5,6-dimethylpyrazolo[1,5-a]pyrimidine, m. 105*.
These results indicated that 7-amino group gave a diacetate when an alkyl
or sryl group was present at C-6. Compds. with electroneg. COORt and CN
groups at C-6 were examined Thus, acetylation of 1 g. ethyl
2-methyl-7-aminopyrazolo[1,5-a]pyrimidine-6-carboxylate (II)
shirts, gave 338 mg, ethyl 2-methyl-7-acetylaminopyrazolo[1,5-a]pyrimidine-6-carboxylate, m.
100-3*. The diacetate on Al2O3 in CHCl3 gave II, whereas the
reacetylation of II gave the diacetate. Similarly 1.5 g. ethyl
7-amino-2, 3-dimethylpyrazolo[1,5-a]pyrimidine-6-carboxylate, m.
100-3*. The diacetate on Al2O3 in CHCl3 gave II, whereas the
reacetylation of II gave the diacetate. Similarly 1.5 g. ethyl
7-amino-2, 3-dimethylpyrazolo[1,5-a]pyrimidine-6-carboxylate, 1207-20 CODEN: CPBTAL; ISSN: 0009-2363 DOCUMENT TYPE: LANGUAGE:

1.42 g. hydriodide, m. 205°, which on neutralization gave ethyl

ANSWER 3 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

191655-90-0 CAPLUS Propanamide, N-(5,6-dimethyl[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-2-methyl-3-(tetradecylthio)- (9CI) (CA INDEX NAME)

191655-98-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of triazolopyrimidine derivs. as ACAT inhibitors)
191655-98-8 CAPLUS
Propanamide, 2-bromo-N-(5,6-dimethyl[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-2-methyl- (9CI) (CA INDEX NAME)

ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
7-laino-2,3,4-trimethyl-4,7-dihydropyrazolo(1,5-a)pyrimidine-6-carboxylate
(VII), m. 228°. Its acetylation gave VI. Hydrolysis of VI and VII
with 204 HCl under reflux for 24 hrs. gave the known 2,3,4
trimethylpyrazolo(1,5-a)pyrimidine-7(4f)-one. Just as methylation,
ethylation of 2.2 q. 1 gave 564 mg. ethyl 2-methyl-4-ethyl-7-inino-4,7dihydropyrazolo(1,5-a)pyrimidine-7(4f)-one. Just as methylation,
ethylation of 2.2 q. 1 gave 564 mg. ethyl 2-methyl-4-ethyl-7-inino-4,7dihydropyrazolo(1,5-a)pyrimidine-6-carboxylate, m. 181-2'
acetylation of 500 mg. 7-emino-2,3-dimethylpyrazolo(1,5-a)
gyrimidine-6-carboxylate, m. 181-2'
acetylation of 500 mg. 7-emino-2,3-dimethylpyrazolo(1,5-a)
apyrimidine-6-carbonitrile, m. 204-5', which was also obtained by
the acetylation at '10' for 8 hrs. An explanation was suggested to
explain these results. Bensoylation was next tried. Treatment of 1 g.
7-anio-2,5-dimethylpyrazolo(1,5-a)pyrimidine with 10 ml. pyridine and
1.86 g. BzCl at 110° for 1 hr. gave 1.2 g. 7-benzamido-2,5dimethylpyrazolo(1,5-a)pyrimidine, m. 138-9'. Similarly, 250 mg.
7-anino-3,6-dimethylpyrazolo(1,5-a)pyrimidine with 10 ml. pyridine and
1.86 g. BzCl at 110° for 1 hr. gave 1.2 g. 7-benzamido-2,5dimethylpyrazolo(1,5-a)pyrimidine, m. 138-9'. Similarly, 250 mg.
7-anino-3,6-dimethylpyrazolo(1,5-a)pyrimidine gypyrimidine may 187-8'
Similarly, other 7-acylamino compds. were prepd. Thus, a suspension of
5.7 g. 7-anino-2,3-dimethylpyrazolo(1,5-a)pyrimidine and 5 g. X2CO3 in 40
ml. dimethylformamide was treated with ClCM2COCl and the mint. heated on a
steam bath for 6 hrs. to give 1.94 g. 7-(2-chloroacetamido)-2,3dimethylpyrazolo(1,5-a)pyrimidine (VIII), m. 175°. Replacement of
ClCM2COCl by (ClCM2CO) 20 and carrying out the reaction in CHCl3 give the
same result. On the other hand, the reaction of 7-amino-2,3-6trimethylpyrazolo(1,5-a)pyrimidine (VIII), m. 176°. Rybased by AcCl, 1
g. IX gave X and 7-acetamido-2, 3-dimethylpyrazolo(1,5-a)pyrimidine (VII)

A

ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) hydrogenation under the same conditions gave 76.2% 2,3-dimethyl-4,5,6,7-tetrahydropyrazolo[1,5-a]pyrimidine, m. 141-2°. Similarly 500 mg. XII gave 350 mg. 2,3,6-trimethylpyrazolo[1,5-a]pyrimidine, m. 110° and 72.5% yield of 2,3,6-trimethylpyrazolo[1,5-a]pyrimidine, m. 110° and 72.5% yield of 2,3,6-trimethyl-4,5,6,7-tetrahydropyrazolo[1,5-a]pyrimidine, m. 169-70° and 213 mg. XIII gave 156 mg. 2,3,5-trimethylpyrazolo[1,5-a]pyrimidine, m. 81°, hydrochloride, m. 179°. A mixt. of 300 mg. XI and excess of MeNH2 in CHCl3 was heated in a sealed tube at 150° for 8 hrs. to give 7-methylamino-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 187°, 7-methylamino-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 187°, 7-methylamino-2,3,5-trimethylpyrazolo[1,5-a]pyrimidine, m. 178°, 7-dimethylamino-2,3,5-trimethylpyrazolo[1,5-a]pyrimidine, m. 178°, 7-dimethylamino-2,3,5-trimethylpyrazolo[1,5-a]pyrimidine, m. 178°, 7-dimethylamino-2,3,5-trimethylpyrazolo[1,5-a]pyrimidine, m. 84°, hydrochloride, m. 206°, 7-dimethylamino-2,3,5-trimethylpyrazolo[1,5-a]pyrimidine, m. 185°, 1,3-5-trimethyl-7-piperidinopyrazolo[1,5-a]pyrimidine, m. 132°, hydrochloride, m. 205°, 7-(dimethylarorbamoylmethylamino)-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 185°, hydrochloride, m. 248°, and 7-(dimethylarbamoylmethylamino)-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 185°, hydroc

4385-22-2P, Pyrazolo[1,5-a]pyrimidine, 7-acetamido-2,3,5-trimethyl-6-phenyl-RL: PREP (Preparation) (preparation of) 4385-22-2 CAPLUS Acetamide, N-(2,3,5-trimethyl-6-phenylpyrazolo[1,5-a]pyrimidin-7-yl)-(8CI) (CA INDEX NAME)

L6 ANSWER 6 OF 6
ACCESSION NUMBER:
DOCUMENT NUMBER:
DOCUMENT NUMBER:
OOTIGINAL REFERENCE
NO:
013162
Condensed heterocycles. IV. Condensation of 3-amino-1,2,4-triazoles with diaceto- and dipropionitriles
Levin, Ya. A.; Kukhtin, V. A.
CORPORATE SOURCE:
SOURCE:
CORPORATE SOURCE:
DOCUMENT TYPE:
LANGUAGE:
Unavailable
Unavailable
Unavailable
Unavailable
Unavailable
Unavailable

DEENT TYPE: Journal JOURNAL ISSN: 0044-460X JOURNAL JO

90973-30-1P, s-Triazolo[1,5-a]pyrimidine, 7-acetamido-5-ethyl-6-methylRL: PREF (Preparation)
(preparation of)
90973-30-1 CAPUS
s-Triazolo[1,5-a]pyrimidine, 7-acetamido-5-ethyl-6-methyl- (7CI) (CA
1NDEX NAME)

L6 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 1966:11534 CAPLUS DOCUMENT NUMBER: 64:11534 ORIGINAL REFERENCE NO.: 64:2102f-g 7-Aminopyrazolo[1,5-a]pyrimidine derivatives Takamizawa, Akira; Hayashi, Sadao; Hamashima, Yoshio Shionogi & Co., Ltd. TITLE: INVENTOR (S): PATENT ASSIGNEE (S): SOURCE: DOCUMENT TYPE: 3 pp. Patent LANGUAGE: Unavailable FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

JP 40018757 B4 19650823 JP 19630907
PRIORITY APPLM. INFO.:
JP 19630907
GI For diagram(s), see printed CA Issue.
AB Manufacture of I, useful as analgesics and antiinflammatory agents, was described. Thus, a solution of 500 mg. 2,3-dimethyl-7-aminopyrazolo[1,5-alpyrimidine in 10 ml. CSHSN is heated on a steam bath 3 hrs. with 5 ml. Ac20, the whole concentrated in vacuo, and the residue dissolved in H2O, made

alkaline, and extracted with AcOEt to give 480 mg. I(Rl = R2 = Me, R3 = R4

(FILE 'HOME' ENTERED AT 17:34:14 ON 27 JUL 2007)

FILE 'REGISTRY' ENTERED AT 17:35:30 ON 27 JUL 2007	${ t FILE}$	'REGISTRY'	ENTERED	AT	17:35:30	ON	27	JUL	2007
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L1 STRUCTURE UPLOADED

L2 35 S L1

L3 STRUCTURE UPLOADED

L4 2 S L3

L5 8 S L3 FULL SSS

FILE 'CAPLUS' ENTERED AT 17:38:48 ON 27 JUL 2007

L6 6 S L5

=> log y

COST IN U.S. DOLLARS SINCE FILE

ENTRY SESSION

TOTAL

FULL ESTIMATED COST 32.56 207.33

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE -4.68 -4.68

STN INTERNATIONAL LOGOFF AT 17:39:50 ON 27 JUL 2007